

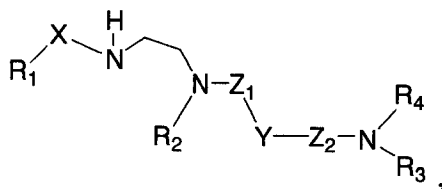
Amendments to the claims:

This listing of claims replaces all prior versions and listings of claims in the application:

Listing of claims:

1-28. (Cancelled)

29. (Currently amended) A compound of the formula:



wherein

X is -CH<sub>2</sub>-, -C<sub>2</sub>H<sub>4</sub>-, or -C<sub>3</sub>H<sub>6</sub>-, ~~CH<sub>2</sub>-CH=CH-, CH=CH-CH<sub>2</sub>-, C(O)-, SO<sub>2</sub>-, or deleted;~~

Y is aryl, heteroaryl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>5</sub>-C<sub>8</sub> cycloalkenyl, C<sub>3</sub>-C<sub>8</sub> heterocycloalkyl, or C<sub>5</sub>-C<sub>8</sub> heterocycloalkenyl;

each of Z<sub>1</sub> and Z<sub>2</sub>, independently, is -CH<sub>2</sub>-, -C<sub>2</sub>H<sub>4</sub>-, or -C<sub>3</sub>H<sub>6</sub>-, ~~CH=CH-, CH=N-, CH=N-NR-, S-, O-, NR-, C(O)-, or SO<sub>2</sub>-;~~

R<sub>1</sub> is H, ~~C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>5</sub>-C<sub>8</sub>-cycloalkenyl, C<sub>3</sub>-C<sub>8</sub>-heterocycloalkyl, C<sub>5</sub>-C<sub>8</sub>-heterocycloalkenyl,~~ aryl[, ] or heteroaryl;

R<sub>2</sub> is -A<sub>1</sub>-B<sub>1</sub>-D<sub>1</sub>-E<sub>1</sub>;

R<sub>3</sub> is ~~-A<sub>2</sub>-B<sub>2</sub>-D<sub>2</sub>-E<sub>2</sub>, deleted, or, together with R<sub>4</sub>, is C<sub>4</sub>-C<sub>20</sub>-cycloalkyl, C<sub>4</sub>-C<sub>20</sub>-cycloalkenyl, C<sub>4</sub>-C<sub>20</sub>-heterocycloalkyl, or C<sub>4</sub>-C<sub>20</sub>-heterocycloalkenyl;~~ provided that if R<sub>3</sub> is deleted, Z<sub>2</sub>-N- is ~~CH=N-~~; and

R<sub>4</sub> is ~~-A<sub>3</sub>-B<sub>3</sub>-D<sub>3</sub>-E<sub>3</sub> or, together with R<sub>3</sub>, is C<sub>4</sub>-C<sub>20</sub>-cycloalkyl, C<sub>4</sub>-C<sub>20</sub>-cycloalkenyl, C<sub>4</sub>-C<sub>20</sub>-heterocycloalkyl, or C<sub>4</sub>-C<sub>20</sub>-heterocycloalkenyl;~~

in which each of ~~A<sub>1</sub>, A<sub>2</sub>, and A<sub>3</sub>~~, independently, is ~~-CH<sub>2</sub>-~~, ~~-C<sub>2</sub>H<sub>4</sub>-~~, ~~-C<sub>3</sub>H<sub>6</sub>-~~, ~~-C<sub>4</sub>H<sub>8</sub>-~~, or ~~-C<sub>5</sub>H<sub>10</sub>-~~, ~~-CH<sub>2</sub>C(O)-~~, ~~C(O)CH<sub>2</sub>-~~, ~~-CH<sub>2</sub>SO<sub>2</sub>-~~, ~~-SO<sub>2</sub>CH<sub>2</sub>-~~, ~~-CH<sub>2</sub>-CH=CH-~~, ~~-CH=CH-CH<sub>2</sub>-~~, ~~-CH(CH<sub>2</sub>OR)-~~, ~~-CH(CH<sub>2</sub>CH<sub>2</sub>OR)-~~, ~~-CH(COOR)-~~, ~~-CH(CH<sub>2</sub>COOR)-~~, ~~-CH(C(O)NR<sub>2</sub>)-~~, or deleted; each of ~~B<sub>1</sub>, B<sub>2</sub>, and B<sub>3</sub>~~, independently, is ~~-NR-~~, ~~-CH<sub>2</sub>-~~, or deleted; each of ~~D<sub>1</sub>, D<sub>2</sub>, and D<sub>3</sub>~~, independently, is ~~-CH<sub>2</sub>-~~, ~~-C<sub>2</sub>H<sub>4</sub>-~~, or ~~-C<sub>3</sub>H<sub>6</sub>-~~, ~~-CH<sub>2</sub>-CH=CH-~~, ~~-CH=CH-CH<sub>2</sub>-~~, ~~C(O)-~~, ~~-SO<sub>2</sub>-~~, ~~C(O)NR-~~, ~~C(S)NR-~~, ~~NR-C(O)-~~, ~~NR-C(S)-~~, ~~-CH(OR)-~~, ~~-CH(CH<sub>2</sub>OR)-~~, ~~-CH(CH<sub>2</sub>CH<sub>2</sub>OR)-~~, ~~-CH(COOR)-~~, 1,1-cyclopropylene, or deleted; and each of ~~E<sub>1</sub>, E<sub>2</sub>, and E<sub>3</sub>~~, independently, is H, ~~C<sub>4</sub>-C<sub>10</sub> alkyl~~, ~~C<sub>2</sub>-C<sub>10</sub> alkenyl~~, ~~C<sub>2</sub>-C<sub>10</sub> alkynyl~~, ~~C<sub>3</sub>-C<sub>8</sub> cycloalkyl~~, ~~C<sub>5</sub>-C<sub>8</sub> cycloalkenyl~~, ~~C<sub>3</sub>-C<sub>8</sub> heterocycloalkyl~~, ~~C<sub>5</sub>-C<sub>8</sub> heterocycloalkenyl~~, aryl[, ] or heteroaryl;

A<sub>2</sub> deleted; B<sub>2</sub> deleted; D<sub>2</sub> is deleted; and E<sub>2</sub> is H, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>5</sub>-C<sub>8</sub> cycloalkenyl, C<sub>3</sub>-C<sub>8</sub> heterocycloalkyl, C<sub>5</sub>-C<sub>8</sub> heterocycloalkenyl, aryl, or heteroaryl;

A<sub>3</sub> is -CH<sub>2</sub>-, -C<sub>2</sub>H<sub>4</sub>-, -C<sub>3</sub>H<sub>6</sub>-, -C<sub>4</sub>H<sub>8</sub>-, -C<sub>5</sub>H<sub>10</sub>-, or deleted; B<sub>3</sub> is deleted; D<sub>3</sub> is deleted; and E<sub>3</sub> is C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>5</sub>-C<sub>8</sub> cycloalkenyl, C<sub>3</sub>-C<sub>8</sub> heterocycloalkyl, C<sub>5</sub>-C<sub>8</sub> heterocycloalkenyl, aryl, or heteroaryl; and

each R, independently, being H or C<sub>1</sub>-C<sub>10</sub> alkyl.

30-31. (Cancelled)

32. (Currently amended) The compound of claim [[31]] 29, wherein X is -CH<sub>2</sub>- or -CH(CH<sub>3</sub>)-, Y is phenyl, Z<sub>1</sub> is -CH<sub>2</sub>- or -SO<sub>2</sub>-, and Z<sub>2</sub> is -CH<sub>2</sub>- or -SO<sub>2</sub>-.

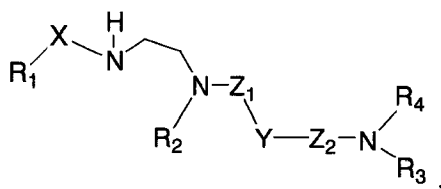
33-34. (Cancelled)

35. (Currently amended) The compound of claim 32, wherein R<sub>3</sub> is -A<sub>2</sub>-B<sub>2</sub>-D<sub>2</sub>-E<sub>2</sub> or, together with R<sub>4</sub>, is C<sub>4</sub>-C<sub>20</sub> heterocycloalkyl or ~~C<sub>4</sub>-C<sub>20</sub> heterocycloalkenyl~~; A<sub>1</sub> is ~~-C<sub>2</sub>H<sub>4</sub>-~~ or ~~-CH(CH<sub>3</sub>)CH<sub>2</sub>-~~; A<sub>2</sub> is ~~-C<sub>2</sub>H<sub>4</sub>-~~ or deleted; A<sub>3</sub> is ~~-CH<sub>2</sub>-~~, ~~-C<sub>2</sub>H<sub>4</sub>-~~, ~~-C<sub>3</sub>H<sub>6</sub>-~~, ~~-CH(CH<sub>2</sub>OH)-~~, ~~-CH(COOH)-~~, ~~-CH(CH<sub>2</sub>OCH<sub>3</sub>)-~~, ~~-CH(CH<sub>2</sub>CH<sub>2</sub>OH)-~~, ~~-CH(CH<sub>2</sub>COOH)-~~, or deleted; B<sub>1</sub> is ~~-NH-~~, ~~-N(CH<sub>2</sub>CH<sub>2</sub>OH)-~~, or ~~-N(CH<sub>2</sub>CH<sub>3</sub>)-~~; D<sub>1</sub> is ~~-CH<sub>2</sub>-~~[, ] or

~~-CH(CH<sub>3</sub>)-, CH(CH<sub>2</sub>OH)-, CH(CH<sub>2</sub>CH<sub>2</sub>OH)-, or deleted; D<sub>2</sub> is -CH<sub>2</sub>- or deleted; D<sub>3</sub> is -CH<sub>2</sub>-, CH(OH)-, CH(COOH)-, 1,1-cyclopropylene, or deleted; E<sub>1</sub> is H-, C<sub>3</sub>-C<sub>8</sub> heterocycloalkyl-, aryl-, or heteroaryl-; E<sub>2</sub> is H-, aryl-, or heteroaryl-; and E<sub>3</sub> is aryl-, heteroaryl-, C<sub>3</sub>-C<sub>8</sub> cycloalkyl-, C<sub>5</sub>-C<sub>8</sub> cycloalkenyl-, or C<sub>3</sub>-C<sub>8</sub> heterocycloalkyl-~~

36-46. (Cancelled)

47. (Currently amended) A pharmaceutical composition comprising a compound of the formula:



wherein

X is ~~-CH<sub>2</sub>-, -C<sub>2</sub>H<sub>4</sub>-, or -C<sub>3</sub>H<sub>6</sub>-, CH<sub>2</sub>-CH=CH-, CH=CH-CH<sub>2</sub>-, C(O)-, SO<sub>2</sub>-, or deleted;~~

Y is aryl, heteroaryl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>5</sub>-C<sub>8</sub> cycloalkenyl, C<sub>3</sub>-C<sub>8</sub> heterocycloalkyl, or C<sub>5</sub>-C<sub>8</sub> heterocycloalkenyl, ~~or deleted;~~

each of Z<sub>1</sub> and Z<sub>2</sub>, independently, is ~~-CH<sub>2</sub>-, -C<sub>2</sub>H<sub>4</sub>-, or -C<sub>3</sub>H<sub>6</sub>-, CH=CH-, CH=N-, CH=N-NR-, S-, O-, NR-, C(O)-, or SO<sub>2</sub>-;~~

R<sub>1</sub> is H-, C<sub>1</sub>-C<sub>10</sub>-alkyl-, C<sub>2</sub>-C<sub>10</sub>-alkenyl-, C<sub>2</sub>-C<sub>10</sub>-alkynyl-, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl-, C<sub>5</sub>-C<sub>8</sub>-cycloalkenyl-, C<sub>3</sub>-C<sub>8</sub>-heterocycloalkyl-, C<sub>5</sub>-C<sub>8</sub>-heterocycloalkenyl-, aryl[[,]] or heteroaryl;

R<sub>2</sub> is -A<sub>1</sub>-B<sub>1</sub>-D<sub>1</sub>-E<sub>1</sub>;

R<sub>3</sub> is ~~-A<sub>2</sub>-B<sub>2</sub>-D<sub>2</sub>-E<sub>2</sub>-, deleted, or, together with R<sub>4</sub>-, is C<sub>4</sub>-C<sub>20</sub>-cycloalkyl-, C<sub>4</sub>-C<sub>20</sub>-cycloalkenyl-, C<sub>4</sub>-C<sub>20</sub>-heterocycloalkyl-, or C<sub>4</sub>-C<sub>20</sub>-heterocycloalkenyl-; provided that if R<sub>3</sub> is deleted, -Z<sub>2</sub>-N- is -CH=N-;~~ and

R<sub>4</sub> is ~~-A<sub>3</sub>-B<sub>3</sub>-D<sub>3</sub>-E<sub>3</sub> or, together with R<sub>3</sub>-, is C<sub>4</sub>-C<sub>20</sub>-cycloalkyl-, C<sub>4</sub>-C<sub>20</sub>-cycloalkenyl-, C<sub>4</sub>-C<sub>20</sub>-heterocycloalkyl-, or C<sub>4</sub>-C<sub>20</sub>-heterocycloalkenyl-;~~

in which ~~each of A<sub>1</sub>-, A<sub>2</sub>-, and A<sub>3</sub>-, independently, is -CH<sub>2</sub>-, -C<sub>2</sub>H<sub>4</sub>-, -C<sub>3</sub>H<sub>6</sub>-, -C<sub>4</sub>H<sub>8</sub>-, or -C<sub>5</sub>H<sub>10</sub>-, CH<sub>2</sub>C(O)-, C(O)CH<sub>2</sub>-, CH<sub>2</sub>SO<sub>2</sub>-, SO<sub>2</sub>CH<sub>2</sub>-, CH<sub>2</sub>-CH=CH-, CH=CH-~~

~~CH<sub>2</sub>-, CH(CH<sub>2</sub>OR)-, CH(CH<sub>2</sub>CH<sub>2</sub>OR)-, CH(COOR)-, CH(CH<sub>2</sub>COOR)-, CH(C(O)NR<sub>2</sub>)-, or deleted; each of B<sub>1</sub>, B<sub>2</sub>, and B<sub>3</sub>, independently, is -NR-, -CH<sub>2</sub>-, or deleted; each of D<sub>1</sub>, D<sub>2</sub>, and D<sub>3</sub>, independently, is -CH<sub>2</sub>-, -C<sub>2</sub>H<sub>4</sub>-, or -C<sub>3</sub>H<sub>6</sub>-, -CH<sub>2</sub>-CH=CH-, CH=CH-CH<sub>2</sub>-, C(O)-, SO<sub>2</sub>-, C(O)NR-, C(S)NR-, NR-C(O)-, NR-C(S)-, -CH(OR)-, CH(CH<sub>2</sub>OR)-, CH(CH<sub>2</sub>CH<sub>2</sub>OR)-, CH(COOR)-, 1,1-cyclopropylene, or deleted; and each of E<sub>1</sub>, E<sub>2</sub>, and E<sub>3</sub>, independently, is H, C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>5</sub>-C<sub>8</sub> cycloalkenyl, C<sub>3</sub>-C<sub>8</sub> heterocycloalkyl, C<sub>5</sub>-C<sub>8</sub> heterocycloalkenyl, aryl[[,]] or heteroaryl;~~

A<sub>2</sub> deleted; B<sub>2</sub> deleted; D<sub>2</sub> is deleted; and E<sub>2</sub> is H, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>5</sub>-C<sub>8</sub> cycloalkenyl, C<sub>3</sub>-C<sub>8</sub> heterocycloalkyl, C<sub>5</sub>-C<sub>8</sub> heterocycloalkenyl, aryl, or heteroaryl;

A<sub>3</sub> is -CH<sub>2</sub>-, -C<sub>2</sub>H<sub>4</sub>-, -C<sub>3</sub>H<sub>6</sub>-, -C<sub>4</sub>H<sub>8</sub>-, -C<sub>5</sub>H<sub>10</sub>-, or deleted; B<sub>3</sub> is deleted; D<sub>3</sub> is deleted; and E<sub>3</sub> is C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>5</sub>-C<sub>8</sub> cycloalkenyl, C<sub>3</sub>-C<sub>8</sub> heterocycloalkyl, C<sub>5</sub>-C<sub>8</sub> heterocycloalkenyl, aryl, or heteroaryl; and

a pharmaceutically acceptable carrier.

48-50. (Cancelled)

51. (Currently amended) The composition of claim [[49]] 47, wherein X is -CH<sub>2</sub>- or -CH(CH<sub>3</sub>)-, Y is phenyl, Z<sub>1</sub> is -CH<sub>2</sub>- or -SO<sub>2</sub>-, and Z<sub>2</sub> is -CH<sub>2</sub>- or -SO<sub>2</sub>-.

52-54. (Cancelled)

55. (Currently amended) The composition of claim 51, wherein R<sub>3</sub> is -A<sub>2</sub>-B<sub>2</sub>-D<sub>2</sub>-E<sub>2</sub> or, together with R<sub>4</sub>, is C<sub>4</sub>-C<sub>20</sub> heterocycloalkyl or C<sub>4</sub>-C<sub>20</sub> heterocycloalkenyl; A<sub>1</sub> is -C<sub>2</sub>H<sub>4</sub>- or -CH(CH<sub>3</sub>)CH<sub>2</sub>-; ~~A<sub>2</sub> is -C<sub>2</sub>H<sub>4</sub>- or deleted; A<sub>3</sub> is -CH<sub>2</sub>-, -C<sub>2</sub>H<sub>4</sub>-, -C<sub>3</sub>H<sub>6</sub>-, -CH(CH<sub>2</sub>OH)-, CH(COOH)-, CH(CH<sub>2</sub>OCH<sub>3</sub>)-, CH(CH<sub>2</sub>CH<sub>2</sub>OH)-, CH(CH<sub>2</sub>COOH)-, or deleted; B<sub>1</sub> is -NH-, N(CH<sub>2</sub>CH<sub>2</sub>OH)-, or N(CH<sub>2</sub>CH<sub>3</sub>)-; D<sub>1</sub> is -CH<sub>2</sub>-[[,]] or -CH(CH<sub>3</sub>)-, CH(CH<sub>2</sub>OH)-, CH(CH<sub>2</sub>CH<sub>2</sub>OH)-, or deleted; D<sub>2</sub> is -CH<sub>2</sub>- or deleted; D<sub>3</sub> is -CH<sub>2</sub>-, CH(OH)-, CH(COOH)-, 1,1-cyclopropylene, or deleted; E<sub>1</sub> is H, C<sub>3</sub>-C<sub>8</sub>~~

Applicant(s) : Kak-Shan Shia et al.  
Serial No. : 10/814,058  
Filed : March 30, 2004  
Page : 6 of 11

Attorney Docket No.: 60001-003001

~~heterocycloalkyl, aryl, or heteroaryl~~; E<sub>2</sub> is H, ~~aryl, or heteroaryl~~; and E<sub>3</sub> is aryl,  
heteroaryl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>5</sub>-C<sub>8</sub> cycloalkenyl, or C<sub>3</sub>-C<sub>8</sub> heterocycloalkyl.

56-57. (Cancelled)